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(54) Title: GLYCOSOLATED ENKEPHALIN AGENTS

(57) Abstract: It is taught here that enkephalin peptides which are glycosylated with a disaccharide will be transported across the blood brain barrier and deliver analgesic effects superior to morphine when introduced into the bloodstream. Glycosylated peptides with disaccharides attached are superior to analogous peptides with either mono- or tri-saccharides attached.

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/05340

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07H 5/06; C07K 7/00, 9/00  
 US CL : 514/8,17,23,25,53; 530/322; 536/55.2, 55.3

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
 U.S. : 514/8,17,23,25,53; 530/322; 536/55.2, 55.3

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
 Please See Continuation Sheet

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	HORVAT et al., "A New Utility of O-Glycosylpseudoureas For Synthesis of Glucopeptides and (1->6)-Disaccharides", Synthesis, Vol. 3, (1986), pages 209-211, see	1 and 4-7
X	MITCHELL et al., "Solid-Phase Synthesis of O-Linked Glycopeptide Analogues of Enkephalin", J. Org. Chem. 2001, Vol. 66, pages 2327-2342, see entire article.	1 and 4-7
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Y		2-3 and 8-10
X	ELMAGBARI et al. "Antinociceptive Structure Activity Studies With Novel Opioid Glycopeptides" FASEB J. Vol. 15, No. 5, (March 8, 2001), page A915 March 31-April 4, 2001 Annual Mtg. of the Federation of American Soc. for Exper. Biol. on Exper. Biology 2001 Orlando Fla, Abstract # 711.1.	1, 4-5 and 7
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Y		2-3, 6 and 8-10
X,P	PALIAN et al., "Glycopeptide-Membrane Interactions: Glycosyl Enkephalin Analogs Adopt Turn Conformations By NMR and CD In Amphipathic Media" JACS, April 2003, Vol. 125, pages 5823-31, see Fig. 1 compound 3 and abstract.	1,2,4-7 and 10
X,P	POLT et al., "Glycosylated Enkephalins Penetrate The Blood-Brain Barrier Adsportive Endocytosis-Analgesia Superior To Morphin", Abstract of Papers: 225th ACS Nat'l Mtg, New Orleans, La. March 23-27, 2003 Publisher: American Chemical Society, Wash. D.C., Abstract #256.	1-2, 4-7 and 10



Further documents are listed in the continuation of Box C.



See patent family annex.

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"O" document referring to an oral disclosure, use, exhibition or other means		
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**C. (Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT**

Category •	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 4,407,794 A (ROQUES et al.) 4 October 1983 (04.10.1983), see entire patent, especially examples and claims.	1-10
A	EGLETON et al., "Improved Bioavailability To The Brain of Glycosylated Met-Enkephalin Analogs", Brain Research, 2001, Vol. 881, pages 37-46, see entire article.	1-10
A	US 5,767,254 A (POLT) 16 June 1998 (16.06.1998), see entire patent, especially patent claims.	1-10

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International application No.  
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Continuation of B. FIELDS SEARCHED Item 3:  
West: PGPB, USPT, USOC, EPAB, JPAB, DWPI, TDBD  
STN: FILE REG, CAPLUS, EMBASE, BIOSIS, MEDLINE, WPIDS